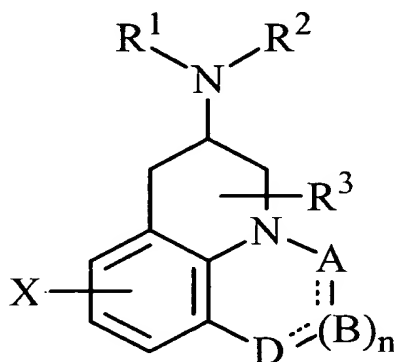


WHAT IS CLAIMED IS:

1. A method of treating or suppressing the symptoms  
5 of at least one disorder selected from addictive  
disorders, psychoactive substance use disorders,  
intoxication disorders, inhalation disorders, alcohol  
addiction, tobacco addiction, and nicotine addiction,  
said method comprising the step of administering a  
10 therapeutically effective, nontoxic amount of an active  
agent selected from the group consisting of a  
heterocyclic amine, a phenylazacycloalkane, a  
cabergoline, an aromatic bicyclic amine, and  
pharmaceutically acceptable derivatives or salts of any  
15 said active agent, to a patient in need of treatment.

wherein said  
active agent is a  
het. amine.

2. The method of claim 1 wherein the active  
agent is a heterocyclic amine of the formula:



(I)

or a pharmaceutically acceptable salt thereof, wherein:

$R^1$ ,  $R^2$ , and  $R^3$  are each independently hydrogen,  $C_{1-6}$

alkyl,  $C_{3-5}$  alkenyl,  $C_{3-5}$  alkynyl,  $C_{3-7}$  cycloalkyl,

- 5  $C_{4-10}$  cycloalkyl- or phenyl- substituted  $C_{1-6}$  alkyl, or  $R^1$   
and  $R^2$  are joined to form a  $C_{3-7}$  cyclic amine which can  
contain additional heteroatoms and/or unsaturation;

$n$  is 0 or 1;

- X is hydrogen,  $C_{1-6}$  alkyl, halogen, hydroxy, alkoxy,  
10 cyano, carboxamide, carboxyl, or carboalkoxyl;

A is CH,  $CH_2$ , CH-halogen,  $CHCH_3$ , C=O, C=S, C-SCH<sub>3</sub>,  
C=NH, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, C-NHCN, SO<sub>2</sub>, or N;

B is  $CH_2$ , CH, CH-halogen, C=O, N, NH, N-CH<sub>3</sub>, or O;

and

- 15 D is CH,  $CH_2$ , CH-halogen, C=O, O, N, NH, or N-CH<sub>3</sub>.

3. The method of claim 2, wherein:

D is N or NH,  $n$  is 0, and  $R^1$ ,  $R^2$ ,  $R^3$ , X, A, and B are  
as defined in claim 2; or

- 20 A is CH,  $CH_2$ ,  $CHCH_3$ , C=O, C=S, C-SCH<sub>3</sub>, C=NH, C-NH<sub>2</sub>,  
C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, or C-NHCN, and  $R^1$ ,  $R^2$ ,  $R^3$ ,  $n$ , X, B,  
and D are as defined in claim 2; or

A is CH or C=O, and  $R^1$ ,  $R^2$ ,  $R^3$ ,  $n$ , X, B, and D are as  
defined in claim 2.

25

4. The method of claim 2 wherein the active agent  
is selected from the group consisting of:

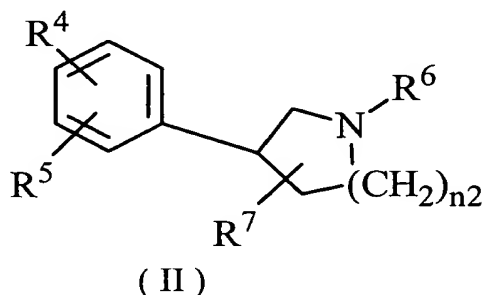
(5R)-5-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one;

(5R)-5-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione;

5 (5R)-5-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione maleate; and

(5R)-5-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione 2-butenedioate.

10 5. The method of claim 1 wherein the active agent is a phenylazacycloalkane compound of the formula:



15

or a pharmaceutically acceptable salt thereof, wherein:

$n_2$  is 0-3;

$R^4$  and  $R^5$  are independently hydrogen, -OH, CN,  $CH_2CN$ ,

2- CF<sub>3</sub>, 4-CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, CH<sub>2</sub>CHF<sub>2</sub>, CH=CF<sub>2</sub>, (CH<sub>2</sub>)<sub>2</sub>CF<sub>3</sub>, ethenyl,  
2-propenyl, OSO<sub>2</sub>CH<sub>3</sub>, OSO<sub>2</sub>CF<sub>3</sub>, SSO<sub>2</sub>CF<sub>3</sub>, COR<sup>7</sup>, COOR<sup>7</sup>, CON(R<sup>7</sup>)<sub>2</sub>,  
SO<sub>x1</sub>CH<sub>3</sub>, wherein x1 is 0-2, SO<sub>x1</sub>CF<sub>3</sub>, O(CH<sub>2</sub>)<sub>x1</sub>CF<sub>3</sub>, SO<sub>2</sub>N(R<sup>7</sup>)<sub>2</sub>,

CH=NOR<sup>7</sup>, COCOOR<sup>7</sup>, COCOON(R<sup>7</sup>)<sub>2</sub>, C<sub>1-8</sub> alkyl, C<sub>3-8</sub> cycloalkyl,

5 CH<sub>2</sub>OR<sup>7</sup>, CH<sub>2</sub>(R<sup>7</sup>)<sub>2</sub>, NR<sup>7</sup>SO<sub>2</sub>CF<sub>3</sub>, NO<sub>2</sub>, halogen, a phenyl at  
positions 2, 3 or 4, thienyl, furyl, pyrrole, oxazole,  
thiazole, N-pyrroline, triazole, tetrazole or pyridine;  
provided that at least one of R<sup>4</sup> and R<sup>5</sup> is a substituent  
other than hydrogen and provided that when R<sup>4</sup> or R<sup>5</sup> is -OH  
10 R<sup>7</sup> is other than hydrogen;

R<sup>5</sup> is hydrogen, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, C<sub>1-8</sub> alkyl, C<sub>3-8</sub>  
cycloalkyl, C<sub>4-9</sub> cycloalkyl-methyl, C<sub>2-8</sub> alkenyl, C<sub>2-8</sub>  
alkynyl, 3,3,3-trifluoropropyl, 4,4,4-trifluorobutyl,  
-(CH<sub>2</sub>)<sub>m</sub>-R<sup>8</sup>, wherein m is 1-8, CH<sub>2</sub>SCH<sub>3</sub> or a C<sub>4-8</sub> alkyl  
15 bonded to said nitrogen and one of its adjacent carbon  
atoms inclusive to form a heterocyclic structure;

R<sup>7</sup> is independently hydrogen, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, C<sub>1-8</sub> alkyl,  
C<sub>3-8</sub> cycloalkyl, C<sub>4-9</sub> cycloalkyl-methyl, C<sub>2-8</sub> alkenyl,  
C<sub>2-8</sub> alkynyl, 3,3,3-trifluoropropyl,

20 4,4,4-trifluorobutyl, -(CH<sub>2</sub>)<sub>m</sub>-R<sup>8</sup>, wherein m is 1-8;

R<sup>8</sup> is phenyl optionally substituted with a CN, CF<sub>3</sub>,  
CH<sub>2</sub>CF<sub>3</sub>, C<sub>1-8</sub> alkyl, C<sub>3-8</sub> cycloalkyl, C<sub>4-9</sub>  
cycloalkyl-methyl, C<sub>2-8</sub> alkenyl, C<sub>2-8</sub> alkynyl,  
2-thiophenyl, 3-thiophenyl, -NR<sup>9</sup>CONR<sup>9</sup>R<sup>10</sup>, or -CONR<sup>9</sup>R<sup>10</sup>; and

25 R<sup>9</sup> and R<sup>10</sup> are each independently hydrogen, C<sub>1-8</sub>  
alkyl, C<sub>3-8</sub> cycloalkyl, C<sub>4-9</sub> cycloalkylmethyl, C<sub>2-8</sub>

alkenyl or C<sub>2</sub>-C<sub>8</sub> alkynyl.

6. The method of claim 5 wherein:

R<sup>4</sup> is CN, and n<sub>2</sub>, R<sup>5</sup>, R<sup>5</sup>, and R<sup>7</sup> are as defined in  
5 claim 5; or

R<sup>5</sup> is H, R<sup>6</sup> is n-propyl, and n<sub>2</sub>, R<sup>4</sup>, and R<sup>7</sup> are as  
defined in claim 5; or

R<sup>4</sup> is -OSO<sub>2</sub>CF<sub>3</sub>, and n<sub>2</sub> and R<sup>5</sup>-R<sup>7</sup> are as defined in  
claim 5; or

10 R<sup>5</sup> is H, R<sup>6</sup> is C<sub>1-8</sub> alkyl, and n<sub>2</sub>, R<sup>4</sup>, and R<sup>7</sup> are as  
defined in claim 5; or

R<sup>4</sup> is 3-OH, R<sup>5</sup> is H, R<sup>6</sup> is n-propyl, R<sup>7</sup> is a C<sub>1-8</sub>  
alkyl, and n is as defined in claim 5; or

n<sub>2</sub> is 2, and R<sup>4</sup>-R<sup>7</sup> are as defined in claim 5; or

15 n<sub>2</sub> is 0, and R<sup>4</sup>-R<sup>7</sup> are as defined in claim 5.

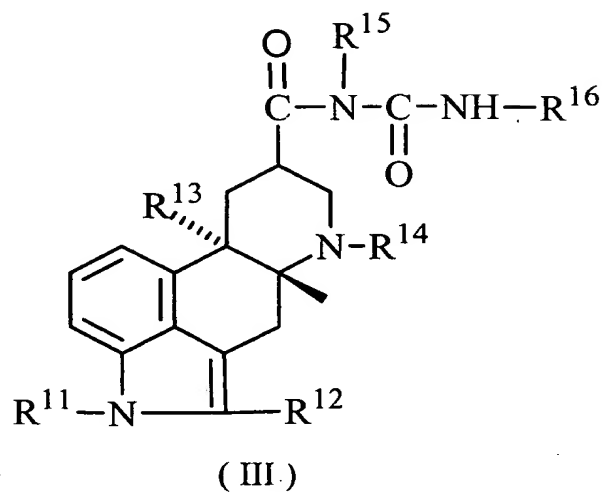
7. The method of claim 5 wherein the  
phenylazacycloalkane compound is selected from the group  
consisting of:

20 (3S)-3-[3-(methanesulfonyl)phenyl]-1-propylpiperidine  
hydrochloride;

(3S)-3-[3-(methanesulfonyl)phenyl]-1-propylpiperidine  
hydrobromide; and

(3S)-3-[3-methanesulfonyl)phenyl]-1-propylpiperidine  
25 (2E)-2-butenedioate.

8. The method of claim 1 wherein the active agent is a cabergoline of the formula:



5

10 or a pharmaceutically acceptable salt thereof, wherein:

R<sup>11</sup> is hydrogen or methyl;

R<sup>12</sup> is independently hydrogen, halogen, methyl,

formyl, S-R<sup>17</sup>, or SO-R<sup>17</sup>, wherein R<sup>17</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl or phenyl;

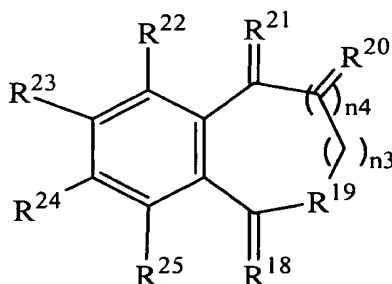
R<sup>13</sup> is hydrogen or methoxy;

R<sup>14</sup> is independently C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkenyl, C<sub>1</sub>-C<sub>4</sub> alkynyl, benzyl, or phenyl; and

R<sup>15</sup> and R<sup>16</sup> are each independently C<sub>1</sub>-C<sub>4</sub> alkyl, cyclohexyl, benzyl, phenyl optionally substituted with halogen or methoxy, or (CH<sub>2</sub>)<sub>n3</sub>N(CH<sub>3</sub>)<sub>2</sub>, wherein n3 is an integer.

9. The method of claim 8 wherein the active agent is 1-((6-allylergolin-8β-yl)carbonyl)-1-(3-(dimethylamino)propyl)-3-ethylurea.

10. The method of claim 1 wherein the active agent is an aromatic bicyclic amine compound of the formula:



(IV)

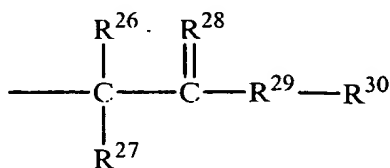
wherein:

n<sub>3</sub> is 0 or 1;

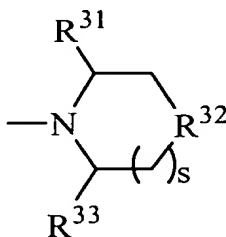
n<sub>4</sub> is 0 or 1, provided that R<sup>20</sup> is not present when

n<sub>4</sub> is 0;

- 5 R<sup>18</sup> is α-R<sup>18-1</sup>:β-R<sup>18-2</sup> where one of R<sup>18-1</sup> or R<sup>18-2</sup> is selected from the group consisting of H or C<sub>1</sub>-C<sub>6</sub> alkyl, and the other of R<sup>18-1</sup> or R<sup>18-2</sup> is a group of the formula:

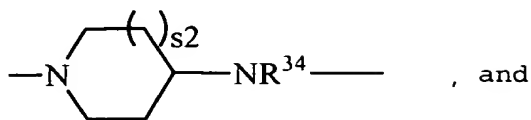
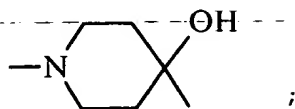
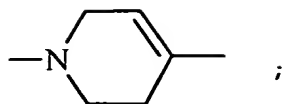


- 10 wherein R<sup>26</sup> and R<sup>27</sup> are independently selected from H or C<sub>1</sub>-C<sub>6</sub>-alkyl; R<sup>28</sup> is oxygen (O) or R<sup>28</sup> is α-R<sup>28-1</sup>:β-R<sup>28-2</sup>, wherein R<sup>28-1</sup> and R<sup>28-2</sup> are independently selected from H or C<sub>1</sub>-C<sub>6</sub> alkyl; R<sup>29</sup> is selected from the group consisting of:

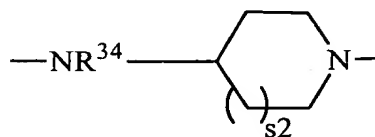


- 15 wherein R<sup>31</sup> and R<sup>33</sup> are independently selected from H or C<sub>1</sub>-C<sub>6</sub> alkyl; R<sup>32</sup> is nitrogen (N-) or methine (HC-); and s is 1 or 2;





wherein  $R^{34}$  is selected from the group  
consisting of H,  $C_1$ - $C_6$  alkyl,  $C_3$ -C, cycloalkyl,  $-C_1$ - $C_3$   
alkyl- ( $C_3$ -C, cycloalkyl); and  $S_2$  is 0, 1, or 2;



wherein  $R^{34}$  and  $s_2$  are as defined above;

$R^{19}$  is oxygen (O) or sulfur (S);

$R^{20}$  is  $\alpha$ - $R^{20-1}$ :  $\beta$ - $R^{20-1}$ , wherein one of  $R^{20-1}$  and  $R^{20-2}$  is  
H,  $C_1$ - $C_6$  alkyl, and the other of  $R^{20-1}$  or  $R^{20-2}$  is H,  $C_1$ - $C_6$   
alkyl, phenyl, hydroxy, and  $-O$ - ( $C_1$ - $C_3$  alkyl);

$R^{21}$  is  $\alpha$ - $R^{21-1}$ :  $\beta$ - $R^{21-1}$ , wherein one of  $R^{21-1}$  and  $R^{21-2}$  is

H, C<sub>1</sub>-C<sub>6</sub> alkyl, and the other of R<sup>21-1</sup> or R<sup>21-2</sup> is H,  
C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, hydroxy, and -O-(C<sub>1</sub>-C<sub>3</sub> alkyl);

and when n<sub>4</sub> is 1, one of R<sup>20-1</sup> or R<sup>20-2</sup> and one of R<sup>21-1</sup>  
or R<sup>21-2</sup> can be taken together with the carbon atoms to

5 which they are attached to form a carbon ring of 5-, 6-,  
or 7- members;

R<sup>22</sup> is H, F, Cl, Br, I, -CONR<sup>35</sup>R<sup>36</sup>, -SONR<sup>35</sup>R<sup>36</sup>, CF<sub>3</sub>,  
NR<sup>35</sup>R<sup>36</sup>, NO<sub>2</sub>, CN, -NR<sup>35</sup>-CO-R<sup>36</sup>, -SO<sub>2</sub>CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, Si(CH<sub>3</sub>)<sub>3</sub>,  
and phenyl optionally substituted with one or two  
10 substituents selected from the group consisting of F, Cl,  
Br, I, and -CO-NR<sup>35</sup>R<sup>36</sup>, wherein R<sup>35</sup> and R<sup>36</sup> are  
independently selected from the group consisting of H, C<sub>1</sub>-  
C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, and -C<sub>1</sub>-C<sub>3</sub> alkyl-(C<sub>3</sub>-C<sub>7</sub>  
cycloalkyl);

15 and where R<sup>22</sup> and one of R<sup>21-1</sup> or R<sup>21-2</sup> are taken  
together with the carbon atoms to which they are attached  
to form a carbon ring of 5-, 6-, or 7-members;

R<sup>23</sup> is H, F, Cl, Br, I, -CONR<sup>37</sup>R<sup>38</sup>, -SONR<sup>37</sup>R<sup>38</sup>, CF<sub>3</sub>,  
NR<sup>37</sup>R<sup>38</sup>, NO<sub>2</sub>, CN, -NR<sup>37</sup>-CO-R<sup>38</sup>, -SO<sub>2</sub>CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, Si(CH<sub>3</sub>)<sub>3</sub>,  
20 and phenyl optionally substituted with one or two  
substituents selected from the group consisting of F, Cl,  
Br, I, and -CO-NR<sup>37</sup>R<sup>38</sup>, wherein R<sup>37</sup> and R<sup>38</sup> are  
independently selected from the group consisting of H, C<sub>1</sub>-  
C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, and -C<sub>1</sub>-C<sub>3</sub> alkyl-(C<sub>3</sub>-C<sub>7</sub>  
25 cycloalkyl);

R<sup>24</sup> is H, F, Cl, Br, I, -CONR<sup>39</sup>R<sup>40</sup>, -SONR<sup>39</sup>R<sup>40</sup>, CF<sub>3</sub>,  
NR<sup>39</sup>R<sup>40</sup>, NO<sub>2</sub>, CN, -NR<sup>39</sup>-CO-R<sup>40</sup>, -SO<sub>2</sub>CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, Si(CH<sub>3</sub>)<sub>3</sub>,  
and phenyl optionally substituted with one or two  
substituents selected from the group consisting of F, Cl,  
30 Br, I, and -CO-NR<sup>39</sup>R<sup>40</sup>, wherein R<sup>39</sup> and R<sup>40</sup> are  
independently selected from the group consisting of H, C<sub>1</sub>-  
C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, and -C<sub>1</sub>-C<sub>3</sub> alkyl-(C<sub>3</sub>-C<sub>7</sub>  
cycloalkyl);

R<sup>25</sup> is H, F, Cl, Br, I, -CONR<sup>41</sup>R<sup>42</sup>, -SONR<sup>41</sup>R<sup>42</sup>, CF<sub>3</sub>,  
35 NR<sup>41</sup>R<sup>42</sup>, NO<sub>2</sub>, CN, -NR<sup>41</sup>-CO-R<sup>42</sup>, -SO<sub>2</sub>CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, Si(CH<sub>3</sub>)<sub>3</sub>,

and phenyl optionally substituted with one or two substituents selected from the group consisting of F, Cl, Br, I, and  $-\text{CO}-\text{NR}^{41}\text{R}^{42}$ , wherein  $\text{R}^{41}$  and  $\text{R}^{42}$  are independently selected from the group consisting of H,  $\text{C}_1$ -

5  $\text{C}_6$  alkyl,  $\text{C}_3$ - $\text{C}_7$  cycloalkyl, and  $-\text{C}_1$ - $\text{C}_3$  alkyl- ( $\text{C}_3$ - $\text{C}_7$  cycloalkyl);

with the proviso that not more than two of  $\text{R}^{22}$ ,  $\text{R}^{23}$ ,  $\text{R}^{24}$ , and  $\text{R}^{25}$  are other than H; and

$\text{R}^{30}$  is selected from the group consisting of:

10 phenyl optionally substituted with one or two substituents selected from the group consisting of  $\text{CF}_3$ ,  $\text{COR}^{43}$ ,  $\text{COOR}^{43}$ , CN,  $\text{NO}_2$ ,  $\text{NR}^{44}-\text{CO}-\text{R}^{45}$ ,  $-\text{S}- (\text{C}_1-\text{C}_6 \text{ alkyl})$ ,  $\text{NR}^{44}\text{R}^{45}$ , or a group represented by  $\text{R}^{46}$ ;

2-, 3-, and 4-pyridinyl optionally substituted with  
15 one or two substituents represented by  $\text{R}^{46}$ ; and

2-, 4-, and 5-pyrimidinyl optionally substituted with one or two substituents represented by  $\text{R}^{46}$ ;

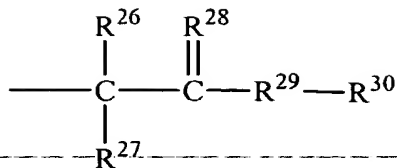
wherein  $\text{R}^{43}$ ,  $\text{R}^{44}$  and  $\text{R}^{45}$  are independently selected from the group consisting of H,  $\text{C}_1$ - $\text{C}_6$  alkyl,  $\text{C}_3$ - $\text{C}_7$   
20 cycloalkyl,

$-\text{C}_1$ - $\text{C}_3$  alkyl- ( $\text{C}_3$ - $\text{C}_7$  cycloalkyl); and  $\text{R}^{46}$  is selected from the group consisting of F, Cl, Br, I,  $-\text{CO}-\text{NR}^{44}\text{R}^{45}$ ,  $-\text{SO}_2\text{NR}^{44}\text{R}^{45}$ , OH, SH,  $\text{C}_1$ - $\text{C}_6$  alkyl,  $\text{C}_3$ - $\text{C}_6$  cycloalkyl,  $-\text{OR}^{47}$ ,  $-\text{CH}_2-(\text{C}_3-\text{C}_6 \text{ cycloalkyl})$ ,  $-\text{CH}_2$ -phenyl,  $\text{C}_3$ - $\text{C}_6$  cycloalkyl,  $-\text{SO}_2\text{CF}_3$ , and  
25  $-\text{CH}_2\text{CF}_3$ , wherein  $\text{R}^{44}$  and  $\text{R}^{45}$  are as previously defined and  $\text{R}^{47}$  is  $\text{C}_1$ - $\text{C}_6$  alkyl; and

enantiomers and diastereomers thereof, where such exist, and pharmaceutically acceptable salts thereof.

30

11. The method of claim 10 wherein:  
one of the substituents represented by  $\text{R}^{18-1}$  or  $\text{R}^{18-2}$  is H, and the other substituent represented by  $\text{R}^{18-1}$  or  $\text{R}^{18-2}$  is a group of the formula:



wherein R<sup>26</sup>, R<sup>27</sup>, R<sup>28</sup>, R<sup>29</sup> and R<sup>30</sup> are as defined in claim 10.

5        12. The method of claim 10 wherein the active agent is selected from the group consisting of:

1-(4-fluorophenyl)-4-[2-(isochroman-1-yl)ethyl]piperazine;

1-[2-(isochroman-1-yl)ethyl]-4-phenylpiperazine;

10       1-[2-(isochroman-1-yl)ethyl]-4-(4-methoxyphenyl)piperazine;

(-)-4-[4-[2-(isochroman-1-yl)ethyl]piperazin-1-yl]benzamide; and

15       (-)-4-[4-[2-(isochroman-1-yl)ethyl]piperazin-1-yl]benzenesulfonamide.

13. The method of claim 1 wherein the active agent is used to treat or enhance the treatment of tobacco and/or nicotine addiction.

20       14. The method of claim 1 wherein the active agent is used to reduce the craving for tobacco and/or nicotine containing products.

25       15. The method of claim 1 wherein the active agent

is used to reduce the smoking and/or chewing of tobacco-  
or nicotine-containing products.

16. The method of claim 1 wherein the active agent  
5 is administered to the patient three times a day.

17. The method of claim 1 wherein the active agent  
is selected from the group consisting of a heterocyclic  
amine, a phenylazacycloalkane, and a cabergoline  
10 administered in a dose of about 0.01 mg/day to about 10.0  
mg/day.

18. The method of claim 17 wherein the active agent  
is selected from the group consisting of a heterocyclic  
15 amine, a phenylazacycloalkane, a cabergoline, and a  
cabergoline-type derivative administered in a dose of  
about 0.125 mg/day to about 6 mg/day.

19. The method of claim 18 wherein the active agent  
20 is administered in an amount from about 0.375 mg/day to  
about 5 mg/day.

20. The method of claim 19 wherein the active agent  
is administered in an amount from about 0.75 mg/day to  
25 about 4.5 mg/day.

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21. The method of claim 17 wherein an initial dose of active agent of about 0.125 mg/day administered to the patient three times a day is titrated to higher levels every five to seven days until therapeutic effect is achieved.

22. The method of claim 1 wherein the active agent is an aromatic bicyclic amine administered in an amount of from about 5 mg/day to about 120 mg/day.

23. The method of claim 22 wherein the aromatic bicyclic amine is administered in an amount of from about 20 mg/day to about 100 mg/day.

24. The method of claim 23 wherein the aromatic bicyclic amine is administered in an amount of from about 40 mg/day to about 80 mg/day.

25. The method of claim 22 wherein an initial dose of active agent of about 5 mg/day is administered to the patient three times a day and is titrated to higher levels every five to seven days until therapeutic effect is achieved.